



#4

SEQUENCE LISTING

<110> DuPont Pharmaceuticals Company

<120> Peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use

<130> PH-7134

<150> 60/189,387

<151> 2000-03-15

<160> 210

<170> PatentIn version 3.0

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<223> 4-methoxy-benzenesulfonyl-beta-alanine

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<223> homophenylalanine

<220>

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<222> (1)..(5)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

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Pro Leu Gly Leu Leu  
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109290-2690660



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109290-2590860





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**Figure 6**

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103290-2530350

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109990-092501



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109290-2E950560

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ordinarily skilled artisans

<400> 37

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1092290-249096

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109290-062901

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102350-2433050

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

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109299-239350

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09606637.052601  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 70

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<210> 71  
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09809832-0625001

<400> 71

Xaa Pro Leu Gly Leu Xaa  
1 5

<210> 72  
<211> 6  
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<213> Artificial Sequence

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<400> 72

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<210> 73  
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<212> PRT  
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<220>
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<223>  The synthesis of this peptide may be performed on an ABI 433A pep
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      ordinarily skilled artisans
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<400> 74

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| <213> | Artificial Sequence |

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<223> acetyl-glycine

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<222> (1)..(6)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

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<210> 76

<211> 6

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<213> Artificial Sequence

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<223> acetyl-glycine

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<222> (5)..(5)

<223> O-benzyl-tyrosine

<220>

<221> PEPTIDE

<222> (1)..(6)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 76

Xaa Pro Leu Gly Xaa Phe  
1 5

<210> 77

<211> 6

<212> PRT

<213> Artificial Sequence

<220>

1092290.062601





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<223> 4-pyridyl-alanine

<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 79

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<210> 80  
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<212> PRT  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 80

Xaa Pro Leu Gly Leu Arg  
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<210> 81  
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09806632-052601









<210> 89  
<211> 6  
<212> PRT  
<213> Artificial Sequence

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<223> N,N-dimethylglycine

<220>  
<221> MOD\_RES  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 89  
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<210> 90  
<211> 6  
<212> PRT  
<213> Artificial Sequence

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<220>  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to

09306632-062601







103290.062301

<221> PEPTIDE  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 94

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<210> 95  
<211> 7  
<212> PRT  
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<220>  
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<220>  
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<400> 95

Xaa Pro Arg Xaa Xaa Arg Leu  
1 5

<210> 96  
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<400> 101

Xaa Leu Gly Xaa His Leu  
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<210> 102  
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tide synthesizer using readily available materials well known to  
ordinarily skilled artisans

<400> 102

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<210> 103  
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<223> homphenylalanine

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<222> (1)..(6)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 103

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<210> 104

<211> 6

<212> PRT

<213> Artificial Sequence

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<223> acetyl-proline

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<222> (4)..(4)

<223> homophenylalanine

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<400> 104

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10990-26990

<210> 105  
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<212> PRT  
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<220>  
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<222> (1)..(1)  
<223> acetyl-gamma-glutamic acid

<220>  
<221> MOD\_RES  
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<220>  
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<400> 105  
  
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<210> 106  
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<220>  
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109290.253360





<223> acetyl-proline

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<223> homo-tyrosine

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<400> 108

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<210> 109

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<223> 4-aza-homophenylalanine

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<400> 109

Xaa Leu Gly Xaa Tyr Leu  
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| <210> | 110                 |
| <211> | 6                   |
| <212> | PRT                 |
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<220>
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<223> (O-(4-pyridyl)-tyrosine)

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      ordinarily skilled artisans
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<400> 110

Xaa Leu Gly Xaa Tyr Leu  
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<210> 111
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<212> PRT
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<223> acetyl-proline
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<220>
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        tide synthesizer using readily available materials well known to
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ordinarily skilled artisans

<400> 111

Xaa Leu Gly Xaa Tyr Leu  
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<210> 112

<211> 6

<212> PRT

<213> Artificial Sequence

<220>

<221> MOD\_RES

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<223> styryl-alanine

<220>

<221> PEPTIDE

<222> (1)..(6)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 112

Xaa Leu Gly Xaa Tyr Leu  
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<210> 113

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10990-06260



106290-062901

<210> 115  
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<220>  
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<220>  
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<400> 116

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<210> 117  
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<223> polyethyleneglycol-proline

<220>  
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<220>  
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<400> 117

109330-06360

Xaa Leu Gly Xaa Xaa Leu  
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<210> 118  
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<220>  
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<223> homophenylalanine

<220>  
<221> MOD\_RES  
<222> (6)..(6)  
<223> ornithine

<220>  
<221> PEPTIDE  
<222> (1)..(7)  
<223> The synthesis of this peptide may be performed on an ABI 433A pep  
tide synthesizer using readily available materials well known to  
ordinarily skilled artisans

<400> 118

Xaa Pro Leu Gly Xaa Xaa Leu  
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<210> 119  
<211> 7  
<212> PRT  
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<220>  
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<223> gamma-glutamic acid

<220>

09806632.062601



<221> MOD\_RES  
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<220>  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

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<210> 120  
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<213> Artificial Sequence

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<220>  
<221> MOD\_RES  
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<220>  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

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Xaa Xaa Gly Xaa Tyr Leu  
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<210> 122  
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109290.262601

<212> PRT  
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<220>  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 122

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<210> 123  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
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<223> acetyl-proline

<220>  
<221> MOD\_RES  
<222> (2)..(2)  
<223> ornithine

<220>

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<221>  PEPTIDE
<222>  (1)..(6)
<223>  The synthesis of this peptide may be performed on an ABI 433A pep
      peptide synthesizer using readily available materials well known to
      ordinarily skilled artisans
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<400> 123

Xaa Xaa Gly Leu Tyr Leu  
1 5

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| <210> | 124                 |
| <211> | 6                   |
| <212> | PRT                 |
| <213> | Artificial Sequence |

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<220>
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<223> acetyl-proline
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<220>
<221> MOD_RES
<222> (2)..(2)
<223> 4-aza-phenylalanine
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<220>
<221>    PEPTIDE
<222>    (1)..(6)
<223>    The synthesis of this peptide may be performed on an ABI 433A pep
peptide synthesizer using readily available materials well known to
ordinarily skilled artisans
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<400> 124

Xaa Xaa Gly Leu Tyr Leu  
1 5

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| <210> | 125                 |
| <211> | 6                   |
| <212> | PRT                 |
| <213> | Artificial Sequence |

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<220>
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<223> acetyl-proline
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SECRET







ordinarily skilled artisans

<400> 129

Xaa Leu Gly Xaa Xaa Leu  
1 5

<210> 130

<211> 7

<212> PRT

<213> Artificial Sequence

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<221> MOD\_RES

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<223> acetyl-gamma-glutamic acid

<220>

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<222> (5)..(5)

<223> homophenylalanine

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<221> MOD\_RES

<222> (6)..(6)

<223> N,N-dimethyl-lysine

<220>

<221> PEPTIDE

<222> (1)..(7)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 130

Xaa Pro Leu Gly Xaa Xaa Leu  
1 5

<210> 131

<211> 7

<212> PRT

<213> Artificial Sequence

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<221> MOD\_RES

<222> (1)..(1)

09608832.062801



[illegible]

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<223> homophenylalanine

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<221> PEPTIDE

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tide synthesizer using readily available materials well known to  
ordinarily skilled artisans

Xaa Pro Leu Gly Xaa Xaa Leu  
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<211> 6

<213> Artificial Sequence

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<221> MOD\_RES

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**<220>**

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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 132

Xaa Leu Gly Xaa Xaa Xaa  
1 5

<210> 133  
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<223> cyclohexylalanine

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0400332-062801

SECRET

Xaa Leu Gly Xaa Xaa Xaa  
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<220>
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<223> acetyl-proline
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<220>
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<223> N5-aminocarbonylornithine

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<400> 134

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| <210> | 135                 |
| <211> | 7                   |
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| <213> | Artificial Sequence |

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<220>  
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<400> 138

Xaa Leu Gly Xaa Val Leu  
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<210> 139  
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<212> PRT  
<213> Artificial Sequence

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<220>  
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<223> homophenylalanine

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<400> 139

Xaa Pro Leu Gly Xaa Glu Leu  
1 5

<210> 140  
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09603332.060301

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<212>  PRT
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<223> 2-carboxyazetidine
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peptide synthesizer using readily available materials well known to
ordinarily skilled artisans
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<400> 140

Xaa Xaa Leu Gly Leu Leu  
1 5

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<210> 141
<211> 5
<212> PRT
<213> Artificial Sequence
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<223> acetyl-(4-fluoro-phenylalanine)
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<223> The synthesis of this peptide may be performed on an ABI 433A pep
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ordinarily skilled artisans
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<400> 141

Xaa Leu Gly Leu Leu  
1                      5

SECRET

<210> 142  
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<223> acetyl-homophenylalanine

<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 142

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<210> 143  
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<212> PRT  
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<220>  
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<223> homophenylalanine

<220>  
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<223> ornithine

<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to

10906632-052601







<221> MOD\_RES  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 147

Xaa Leu Gly Xaa Tyr Gly  
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<210> 148  
<211> 6  
<212> PRT  
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<220>  
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<223> beta-homo-leucine

<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 148

Xaa Leu Gly Leu Tyr Xaa  
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<210> 149  
<211> 6  
<212> PRT  
<213> Artificial Sequence

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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 150

Xaa Leu Gly Leu Tyr Xaa  
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<210> 151  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
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<223> acetyl-proline

<220>  
<221> MOD\_RES  
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<223> 6-aminohexanoic acid

<220>  
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<222> (1)..(6)  
<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 151

Xaa Leu Gly Leu Tyr Xaa  
1 5

<210> 152  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
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<222> (1)..(1)  
<223> acetyl-proline

<220>

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<220>
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<222> (1)..(1)
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<220>
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<223>    The synthesis of this peptide may be performed on an ABI 433A pep
peptide synthesizer using readily available materials well known to
ordinarily skilled artisans
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<400> 154

Xaa Leu Gly Leu Leu Ala Leu  
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| <210> | 155                 |
| <211> | 7                   |
| <212> | PRT                 |
| <213> | Artificial Sequence |

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<220>
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<222> (1)..(1)
<223> acetyl-proline
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<220>
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<223>  The synthesis of this peptide may be performed on an ABI 433A pep
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      ordinarily skilled artisans
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<400> 155

Xaa Leu Gly Leu Tyr Ala Leu  
1 5

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|-------|---------------------|
| <210> | 156                 |
| <211> | 7                   |
| <212> | PRT                 |
| <213> | Artificial Sequence |

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<223> acetyl-glycine

<220>

<221> PEPTIDE

<222> (1)..(7)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 156

Xaa Pro Leu Gly Leu Ala Leu  
1 5

<210> 157

<211> 7

<212> PRT

<213> Artificial Sequence

<220>

<221> MOD\_RES

<222> (1)..(1)

<223> acetyl-proline

<220>

<221> PEPTIDE

<222> (1)..(7)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 157

Xaa Leu Gly Leu Ala Ala Leu  
1 5

<210> 158

<211> 7

<212> PRT

<213> Artificial Sequence

<220>

<221> MOD\_RES

<222> (1)..(1)

<223> acetyl-proline

<220>



<221> PEPTIDE  
<222> (1)..(7)  
<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 158

Xaa Leu Gly Leu Ala Leu Leu  
1 5

<210> 159  
<211> 7  
<212> PRT  
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<220>  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 159

Xaa Leu Gly Leu Leu Ser Leu  
1 5

<210> 160  
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<212> PRT  
<213> Artificial Sequence

<220>  
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<222> (1)..(1)  
<223> acetyl-proline

<220>  
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<222> (1)..(7)  
<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to

158-159: 158-159





<221> PEPTIDE  
<222> (1)..(4)  
<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 164

Xaa Xaa Tyr Leu  
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<210> 165  
<211> 6  
<212> PRT  
<213> Artificial Sequence

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<222> (1)..(1)  
<223> acetyl-proline

<220>  
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<222> (4)..(4)  
<223> homophenylalanine

<220>  
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<222> (5)..(5)  
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<220>  
<221> PEPTIDE  
<222> (1)..(6)  
<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 165

Xaa Leu Gly Xaa Xaa Leu  
1 5

<210> 166  
<211> 6  
<212> PRT  
<213> Artificial Sequence

109290-062601



109290-253050

Xaa Leu Gly Xaa Tyr Leu  
1 5

<210> 168  
<211> 6  
<212> PRT  
<213> Artificial Sequence

<220>  
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<220>  
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<220>  
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<222> (6)..(6)  
<223> norleucine

<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A pep  
tide synthesizer using readily available materials well known to  
ordinarily skilled artisans

<400> 168

Xaa Leu Gly Xaa Tyr Xaa  
1 5

<210> 169  
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<220>  
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<223> 4-aza-hydroxy-phenylalanine

<220>  
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<223> 4-aza-hydroxy-phenylalanine

<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 171

Xaa Xaa Gly Xaa Tyr Leu  
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<210> 172  
<211> 6  
<212> PRT  
<213> Artificial Sequence

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<223> acetyl-proline

<220>  
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<223> O-allyl-serine

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109290" 253090

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 172

Xaa Leu Gly Xaa Tyr Leu  
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<210> 173  
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<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 173

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<210> 174  
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<212> PRT  
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<400> 174

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<400> 175

Xaa Leu Gly Xaa Tyr Leu

109240-253366

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<220>  
<221> MOD\_RES  
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<223> O-benzyl-serine

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<400> 176

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<210> 177  
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<212> PRT  
<213> Artificial Sequence

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<223> norleucine

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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 177

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<210> 178

<211> 6

<212> PRT

<213> Artificial Sequence

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<220>

<221> MOD\_RES

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<223> homophenylalanine

<220>

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<222> (1)..(6)

<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 178

Xaa Leu Gly Xaa Tyr Leu  
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<210> 179

<211> 6

<212> PRT

<213> Artificial Sequence

<220>

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 <223> homophenylalanine

<220>  
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 <223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 179

Xaa Leu Gly Xaa Tyr Leu  
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<210> 180  
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 <212> PRT  
 <213> Artificial Sequence

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<220>  
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 <223> homophenylalanine

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 <222> (6)..(6)  
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<400> 180

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1 5

<210> 181  
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<220>  
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<400> 181

Xaa Leu Gly Xaa Tyr Xaa  
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<210> 182  
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090033.062601









<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 186

Xaa Pro Leu Gly Xaa Tyr Leu  
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<210> 187  
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<212> PRT  
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<400> 187

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1 5

<210> 188  
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109997-109998

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<400> 188

Pro Leu Gly Xaa Tyr Leu  
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<210> 189  
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<212> PRT  
<213> Artificial Sequence

<220>  
<221> MOD\_RES  
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<223> O-methyl-serine

<220>  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 189

Pro Leu Gly Xaa Tyr Leu  
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<210> 190  
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103290-253336

<220>  
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<400> 190

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<400> 191

Pro Leu Gly Xaa Tyr Leu  
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<210> 192  
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103297-0530360



<221> MOD\_RES  
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<223> norleucine

<220>  
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<400> 194

Pro Leu Gly Xaa Tyr Xaa  
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<210> 195  
<211> 6  
<212> PRT  
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<223> The synthesis of this peptide may be performed on an ABI 433A peptide synthesizer using readily available materials well known to ordinarily skilled artisans

<400> 195

Pro Leu Gly Xaa Tyr Xaa  
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<210> 196  
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<212> PRT  
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109490-06201

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<400> 196

Pro Leu Gly Xaa Tyr Xaa  
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<220>  
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<400> 197

09308632.062601





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      ordinarily skilled artisans
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<400> 199

Pro Leu Gly Xaa Tyr Xaa  
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<212> PRT
<213> Artificial Sequence
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<223> homoleucine
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<400> 200

Pro Leu Gly Xaa Tyr Xaa  
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| <211> | 7                   |
| <212> | PRT                 |
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      ordinarily skilled artisans
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| <212> | PRT                 |
| <213> | Artificial Sequence |

```
<220>
<221>  PEPTIDE
<222>  (1)..(4)
<223>  The synthesis of this peptide may be performed on an ABI 433A pep
      tide synthesizer using readily available materials well known to
      ordinarily skilled artisans
```